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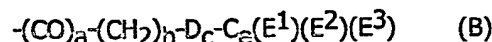
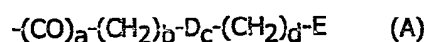
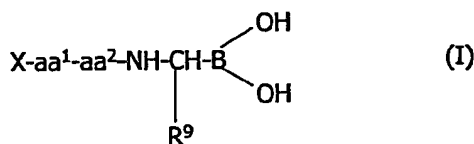
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(54) Title: BORONIC ACID THROMBIN INHIBITORS



(57) Abstract: A thrombin inhibitor selected from boronic acids of formula (I), and salts, prodrugs and prodrug salts thereof: wherein X is H (to form NH<sub>2</sub>) or an amino-protecting group; aa<sup>1</sup> is an amino acid residue having a side chain selected from formula (A) and (B); -(CO)<sub>a</sub>-(CH<sub>2</sub>)<sub>b</sub>-D<sub>c</sub>-(CH<sub>2</sub>)<sub>d</sub>-E (A), -(CO)<sub>a</sub>-(CH<sub>2</sub>)<sub>b</sub>-D<sub>c</sub>-C<sub>e</sub>(E<sup>1</sup>)(E<sup>2</sup>)(E<sup>3</sup>) wherein E<sup>1</sup>, E<sup>2</sup> and E<sup>3</sup> are 5-6 membered saturated or unsaturated hydrocarbyl rings, or one of E<sup>1</sup>, E<sup>2</sup> and E<sup>3</sup> is hydrogen and the other two are a said hydrocarbyl ring, E, E<sup>1</sup>, E<sup>2</sup> and E<sup>3</sup> optionally being halogenated when saturated and mandatorily being halogenated when unsaturated, a particular halogen being fluorine; aa<sup>2</sup> is a residue of an amino acid which binds to the thrombin S2 subsite; and R<sup>9</sup> is a straight chain alkyl group interrupted by one or more ether linkages or R<sup>9</sup> is -(CH<sub>2</sub>)<sub>m</sub> W and W is -OH or halogen.



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